

Abstract

The invention relates to substrates for O⁶-alkylguanine-DNA alkyltransferases (AGT) of formula R₁-A-X-CH₂-R₃-R₄-L₁, wherein A is a group recognized by AGT as a substrate, X is oxygen or sulfur, R₁ is a group -R₂-L₂ or a group R₅, R₂ and R₄ are, independently of each other, a linker, R₃ is an aromatic or a heteroaromatic group, or an optionally substituted unsaturated alkyl, cycloalkyl or heterocyclyl group with the double bond connected to CH₂, R₅ is arylmethyl or heteroaryl methyl or an optionally substituted cycloalkyl, cycloalkenyl or heterocyclyl group, L₁ is a label, a plurality of same or different labels, a bond connecting R₄ to A forming a cyclic substrate, or a further group -R₃-CH₂-X-A-R₁, and L₂ is a label or a plurality of same or different labels. The invention further relates to methods of transferring a label from these substrates to O⁶-alkylguanine-DNA alkyltransferases (AGT) and AGT fusion proteins.